

Russel  
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FILE 'REGISTRY' ENTERED AT 15:16:19 ON 15 JUL 2002

L1 8496 S GGFG/SQSP  
L2 157 S L1 AND SQL=<8

FILE 'HCAPLUS' ENTERED AT 15:16:39 ON 15 JUL 2002

L3 75 S L2  
L4 13 S L3 AND (DDS OR DRUG DELIVER? SYST?)  
L5 9 S L3 AND ?ASSAY?  
L6 20 S L4 OR L5

L6 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:185277 HCAPLUS

DOCUMENT NUMBER: 136:242899

TITLE: Phage display libraries and methods for  
identifying targeting peptides in humans in vivo

INVENTOR(S): Arap, Wadih; Pasqualini, Renata

PATENT ASSIGNEE(S): Board of Regents, the University of Texas  
System, USA

SOURCE: PCT Int. Appl., 269 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020723	A2	20020314	WO 2001-US28044	20010907
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2000-231266P P 20000908  
US 2001-765101 A 20010117

AB The present invention concerns methods and compns. for identifying human targeting peptides sequences. The methods used for phage display biopanning in the mouse model system require substantial improvements for use with humans. In general, humans suitable for use with phage display are either brain dead or terminal wean patients. The amt. of phage library (preferably primary library) required for administration must be significantly increased, preferably 5 orders of magnitude to 10<sup>14</sup> TU or higher, preferably administered i.v. in .apprx.200 mL of Ringer lactate soln. over about a 10-min period. To produce such large phage libraries, the transformed bacterial pellets recovered from up to 500-1000 transformations are amplified up to 10 times in the bacterial host, recovering the phage from each round of amplification and adding LB Tet medium to the bacterial pellet for collection of addnl. phage. Samples of various organs and tissues are collected starting .apprx.15 min after injection of the phage library; samples are processed and phage collected from each organ, tissue or cell type

09/807980

of interest for DNA sequencing to det. the amino acid sequences of targeting peptides. A substantial improvement in the biopanning technique involves polyorgan targeting. It is possible to pool phage collected from multiple organs after a first round of biopanning and inject the pooled sample into a new subject, where each of the multiple organs may be collected for phage rescue, and the protocol repeated for as many rounds of biopanning as desired. In this manner, it is possible to significantly reduce the no. of subjects required for isolation of targeting peptides for multiple organs, while still achieving substantial enrichment of the organ-homing phage. Thus, 320 targeting peptides are identified with specificity for bone marrow, adipose tissue, skeletal muscle, prostate, skin, or multiple organs. The peptides are of use for targeted delivery of therapeutic agents, including gene therapy vectors. Such targeted delivery may be used for detection, diagnosis or treatment of human diseases. In certain embodiments, the peptide may be attached to an imaging agent and administered to a human to obtain an image or to diagnose a disease state. Also disclosed are a large no. of targeting peptide sequences and consensus motifs that are selective for human organs or tissues, obtained by the methods of the present invention.

IT 403702-00-1P

RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(targeting peptide for human prostate; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 403702-73-8P

RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(targeting peptide for multiple organs; phage display libraries and methods for identifying targeting peptides in humans in vivo)

L6 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:148739 HCAPLUS

DOCUMENT NUMBER: 136:205403

TITLE: DDS compounds of drugs having hydroxy groups

INVENTOR(S): Ousu, Satoru; Oki, Hitoshi; Naito, Hiroyuki; Hirotani, Kenji

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002060351	A2	20020226	JP 2001-80188	20010321
PRIORITY APPLN. INFO.:			JP 2000-79655	A 20000322

OTHER SOURCE(S): MARPAT 136:205403

AB The DDS (drug delivery system

) compds. are represented by the formula AWN(R1)C(R2)(R3)OQ or

Searcher : Shears 308-4994

09/807980

PZN(R1)C(R2)(R3)OQ [A = polymeric carrier for drugs; W = spacer contg. amino acid or oligopeptide residue linked to N at the C-terminal; P = protective group for H or NH<sub>2</sub>; Z = amino acid residue or oligopeptide residue linked to N at the C-terminal; R1-R3 = H, (substituted) alkyl, (substituted) aryl, carboxyl, alkoxy-carbonyl; 2 of R1-R3 may form 4- to 8-membered ring; OQ = residue of OH-contg. drugs]. Tert-Bu 13-[[1-[2-amino-6-[4-[(E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinyl]oxy]-7-benzyl-2,5,8,11-tetraoxo-3,6,9,12-tetraazatri-1-decylcarbamate (prepn. given) showed 89% release of 1-[2-amino-6-[4-[(E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinol (I) in murine fibrosarcoma Meth-A cell homogenate at 40.degree. and pH 4.5 and <1% release of I in a buffer at pH 4.5. I.v. administration of a carboxymethyl dextran polyol deriv. of I (linked through an oligopeptide and aminomethylene linker) at 10 mg/kg as I showed significant antitumor effect and did not cause diarrhea in mice.

IT 401470-32-4P 401470-36-8DP, conjugates with carboxymethyl dextran polyols  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of amino acid or peptide derivs. of hydroxy-contg. drugs for DDS)

IT 401470-35-7P 401470-36-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of amino acid or peptide derivs. of hydroxy-contg. drugs for DDS)

L6 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:71911 HCAPLUS

DOCUMENT NUMBER: 136:123681

TITLE: Pharmaceutical compositions containing  
DDS compounds

INVENTOR(S): Takahashi, Masayuki; Sugie, Shuichi; Takeuchi, Masahito

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005855	A1	20020124	WO 2001-JP6020	20010711
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD,			

Searcher : Shears 308-4994

09/807980

TG

PRIORITY APPLN. INFO.:

JP 2000-213083 A 20000713

AB Disclosed are pharmaceutical compns. which contain compds. obtained by bonding a carboxyl-bearing polysaccharide deriv. to a camptothecin deriv. either through a spacer or not there through and are improved in storage stability by the addn. of a sugar or a sugar alc. and, if necessary, a pH regulator. (1S,9S)-1-amino-9-ethyl-5-fluoro-2,3-dihydro-9-hydroxy-4-methyl-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinoline-10,13(9H,15H)-dione conjugates with carboxymethyldextran using Gly-Gly-Phe-Gly spacer, are formulated with maltose and pH modifier to pH 6-9 to have a freeze-dried compn.

IT 200427-88-9D, conjugates with camptothecin deriv. and carboxymethyldextran

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antitumor compns. contg. camptothecin deriv. conjugates)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:10548 HCAPLUS

DOCUMENT NUMBER: 136:74660

TITLE: DDS compounds containing drug-carboxymethyldextran polyalcohol conjugates and process for preparation thereof

INVENTOR(S): Imura, Akihiro; Noguchi, Shigeru; Yamaguchi, Tatsuya; Yagi, Tsutomu; Kawabe, Takefumi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000734	A1	20020103	WO 2001-JP5498	20010627
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

AU 2001067831 A5 20020108 AU 2001-67831 20010627

PRIORITY APPLN. INFO.:

JP 2000-195919 A 20000629

JP 2000-2000195919A 20000629

WO 2001-JP5498 W 20010627

AB Disclosed is a DDS compd. which comprises (1S,9S)-1-amino-9-Et -5-fluoro-2,3-dihydro-9-hydroxy-4-methyl-1H,12H-benzo[de]-pyrano[3',4':6,7]indolizino[1,2-b]quinoline-10,13(9H,15H)-dione (I) as the drug compd. and carboxymethyldextran polyalc. and

Searcher : Shears 308-4994

in which the 1-position amino group of the former is bonded to the carboxyl groups of the latter through a spacer consisting of either one amino acid or 2 to 8 amino acids bonded by peptide linkages, characterized in that the amt. of the drug compd. residue introduced is 3.2-8.4 % and that the carboxymethyldextran polyalc. has an av. mol. wt. of 240,000-480,000 and a degree of carboxymethylation of 0.14-0.47. Also disclosed is a process for the prepn. of the DDS compd. which comprises the step of adding an aq. soln. of sodium periodate to an aq. soln. of dextran at a temp. of 4.degree. +/- 2.degree. to oxidize the dextran, and then adding the resulting reaction fluid to an aq. soln. of sodium borohydride at a temp. of .ltoreq. 15.degree. to thereby obtain dextran polyalc. A conjugate of I and carboxymethyldextran polyalc. with tetrapeptide spacer Gly-Gly-Phe-Gly was prepd., and its antitumor effect in Meth A cell-bearing mice was examd.

IT 384828-78-8DP, reaction products with carboxymethyldextran polyalc.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antitumor drug-carboxymethyldextran polyalc. conjugates with peptide spacers)

IT 187794-49-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of antitumor drug-carboxymethyldextran polyalc. conjugates with peptide spacers)

IT 223537-08-4P 384828-78-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of antitumor drug-carboxymethyldextran polyalc. conjugates with peptide spacers)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:611691 HCAPLUS

DOCUMENT NUMBER: 135:191315

TITLE: Polynucleotides and polypeptides for Candida RH01, CDC42, and RAM2 genes, and their uses related to identifying antifungal agents

INVENTOR(S): Berlin, Vivian; Damagnez, Veronique; Smith, Susan E.

PATENT ASSIGNEE(S): GPC Biotech Inc., USA

SOURCE: U.S., 70 pp., Cont.-in-part of U.S. Ser. No. 771,212.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6277564	B1	20010821	US 1997-838973	19970423
US 6117641	A	20000912	US 1996-631319	19960411
CA 2251593	AA	19971016	CA 1997-2251593	19970411
KR 2000005397	A	20000125	KR 1998-8128	19981012

09/807980

PRIORITY APPLN. INFO.:

US 1996-631319 A2 19960411  
US 1996-771212 A2 19961220

AB This invention claims novel *Candida* polynucleotides and gene products, their recombinant expression and prodn., and isolation of recombinant polypeptides. The polynucleotides identify *Candida albicans* genes RHO1, CDC42, and RAM2. *Candida* genes RHO1 and CDC42, named according to their sequence homol. to *Saccharomyces cerevisiae* genes, encode G proteins (also called GTPases) involved in signal transduction pathways regulating yeast protein kinase C homologs and glucan synthase and involved in cell wall integrity. Gene RAM2 encodes the shared .alpha. subunit of farnesyl-protein transferase (FPTase) and geranylgeranyl protein transferase I (GGPTase I). Genetic interactions and biochem. evidence from budding yeast suggest that Rho1p and Cdc42p GTPases are substrates of GGPTase and that prenylation of G proteins may affect their assocn. with protein kinase C and glucan synthase activity. The present invention may be used in **assays** for screening and identifying pharmaceutically effective compds. that specifically inhibit the biol. activity of fungal GTPase proteins, particularly GTPases involved in cell wall integrity, hyphal formation, and/or other cellular functions crit. to pathogenesis.

IT 87742-82-3

RL: PRP (Properties)

(unclaimed sequence; polynucleotides and polypeptides for *Candida* RHO1, CDC42, and RAM2 genes, and their uses related to identifying antifungal agents)

REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L6 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:578088 HCAPLUS

DOCUMENT NUMBER: 135:162483

TITLE: **Assays** and reagents for identifying  
antifungal agents, and related uses

INVENTOR(S): Berlin, Vivian; Levin, David E.; Ohya,  
Yoshikazu; Damagnez, Veronique; Smith, Susan E.

PATENT ASSIGNEE(S): GPC-Biotech Inc., USA; The Johns Hopkins  
University

SOURCE: U.S., 72 pp., Cont.-in-part of U.S. Ser. No.  
771,212.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6271197	B1	20010807	US 1997-842306	19970423
US 6117641	A	20000912	US 1996-631319	19960411
CA 2251593	AA	19971016	CA 1997-2251593	19970411
KR 2000005397	A	20000125	KR 1998-8128	19981012

PRIORITY APPLN. INFO.: US 1996-631319 A2 19960411  
US 1996-771212 A2 19961220

AB The invention provides rapid, reliable, and effective **assays** for screening and identifying pharmaceutically effective compds. that specifically inhibit the biol. activity of fungal GTPase

09/807980

proteins, particularly GTPases involved in cell wall integrity, hyphael formation, and/or other cellular functions crit. to pathogenesis. Another aspect of the present invention relates to novel Candida genes and gene products.

IT 87742-82-3

RL: PRP (Properties)

(unclaimed sequence; **assays** and reagents for identifying antifungal agents, and related uses)

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:322648 HCAPLUS

DOCUMENT NUMBER: 135:185307

TITLE: Characteristics of tissue distribution of various polysaccharides as drug carriers: influences of molecular weight and anionic charge on tumor targeting

AUTHOR(S): Sugahara, Shuichi; Okuno, Satoshi; Yano, Toshiro; Hamana, Hiroshi; Inoue, Kazuhiro

CORPORATE SOURCE: Drug Delivery System Institute, Ltd., Chiba, 278-0022, Japan

SOURCE: Biological & Pharmaceutical Bulletin (2001), 24(5), 535-543

CODEN: BPBLEO; ISSN: 0918-6158

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Using the Walker 256 model for carcinosarcoma-bearing rats, we i.v. administered 5 polysaccharide carriers with various mol. wts. (MWs) and elec. charges and tested for their plasma and tissue distribution. Two carriers, carboxymethylated-D-manno-D-glucan (CMMG) and CMDextran (CMDex), showed higher plasma AUC than the other carriers tested, namely, CMchitin (CMCh), N-desulfated N-acetylated heparin (DSH), and hyaluronic acid (HA). This was consistently found to be true over the range of MWs tested. For CMDex, the max. value of plasma AUC was obtained when the MW exceeded 150 kDa. As for the anionic charge, CMDex (110-180 kDa) with a degree of substitution (DS) of the CM groups ranging from 0.2 to 0.6, showed max. plasma AUC values. Twenty-four hours after administration, the concn. of CMDex (180-250 kDa; DS: 0.6-1.2) in tumors was more than 3% of dose/g-approx. 10-fold higher than those obsd. with CMCh, DSH and HA. Doxorubicin (DXR) was bound to these carriers via a peptide spacer, GlyGlyPheGly (GGFG), to give carrier-GGFG-DXR conjugates (DXR content: 4.2-7.0 (wt./wt.)), and the antitumor effects of these conjugates were tested with Walker 256 carcinosarcoma-bearing rats by monitoring the tumor wts. after a single i.v. injection. Compared with free DXR, CMDex-GGFG-DXR and CMMG-GGFG-DXR conjugates significantly suppressed tumor growth, while the CMCh-GGFG-DXR, DSH-GGFG-DXR, and HA-GGFG-DXR conjugates in a similar comparison showed weak tumor growth inhibition. These findings suggest that the antitumor effect of the carrier-DXR conjugates was related to the extent with which the carriers accumulated in the tumors.

IT 200427-88-9DP, conjugates with doxorubicin and polysaccharides

RL: BAC (Biological activity or effector, except adverse); BPR

09/807980

(Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(effects of mol. wt. and anionic charge of polysaccharide drug carriers on tumor targeting)

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L6 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:832857 HCAPLUS

DOCUMENT NUMBER: 134:256691

TITLE: Determinants for the drug release from T-0128,  
camptothecin analog-carboxymethyl dextran  
conjugate

AUTHOR(S): Harada, M.; Sakakibara, H.; Yano, T.; Suzuki,  
T.; Okuno, S.

CORPORATE SOURCE: Discovery Research Laboratory, Tanabe Seiyaku  
Co. Ltd., Yodogawa-ku, Osaka, 532-8505, Japan

SOURCE: Journal of Controlled Release (2000), 69(3),  
399-412

CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To improve pharmacol. profiles of camptothecins (CPTs), a new  
macromol. prodrug, denoted T-0128, was synthesized. This prodrug  
comprises a novel CPT analog (T-2513: 7-ethyl-10-aminopropoxy-CPT)  
bound to carboxymethyl (CM) dextran through a Gly-Gly-Gly linker,  
with a mol. wt. of 130 kDa. The present study was designed to  
elucidate the mechanisms that promote the release of linked T-2513.  
First, we compared the abilities of a rat liver homogenate, a  
cocktail of its lysosomal enzymes, and different types of pure  
enzymes, to liberate T-2513 from the conjugate. The releasing rate  
in the homogenate was very slow, but was accelerated with the  
lysosomes. Lysosomal cysteine proteinases, such as cathepsin B,  
were responsible, coupled with the results of in vitro and in vivo  
inhibition studies using proteinase inhibitors. The pH optimum for  
the cathepsin B-mediated drug release was approx. 4. This  
corresponds to the pH in lysosomes, suggesting lysosomotropic  
release. Second, to assess the effect of the length and compn. of  
the peptidyl linker, we synthesized the conjugates with a different  
linker and compared the drug-releasing rates. We found that the  
insertion of Phe into Gly-Gly-Gly allowed various kinds of enzymes  
to produce a rapid cleavage, and the Gly-chain lengthening enhanced  
the lysosome-mediated drug release. The released T-2513 levels in  
the liver and tumor of the tumor-bearing rats dosed with each  
conjugate increased with the length of Gly linker, suggesting a good  
in vitro to in vivo relationship. Comparative efficacy studies of  
the conjugates with a different linker demonstrated that T-0128  
showed the max. efficacy against MX-1 human mammary xenograft  
tumors. Thus the Gly-Gly-Gly linker exploits lysosomal cathepsin B  
to release T-2513 slowly and steadily, resulting in improved  
therapeutic efficacy.

IT 193097-95-9P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic  
use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(determinants for drug release from T-0128 camptothecin)



09/807980

analog-carboxymethyl dextran conjugate)  
IT 187794-49-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(determinants for drug release from T-0128 camptothecin  
analog-carboxymethyl dextran conjugate)  
IT 192991-32-5P 192991-33-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(determinants for drug release from T-0128 camptothecin  
analog-carboxymethyl dextran conjugate)  
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L6 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2000:314580 HCAPLUS  
DOCUMENT NUMBER: 132:326152  
TITLE: DDS compounds and method for  
assaying the same  
INVENTOR(S): Susaki, Hiroshi; Inoue, Kazuhiro; Kuga, Hiroshi;  
Ikeda, Masahiro; Shiose, Yoshinobu; Korenaga,  
Hiroshi  
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 64 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000025825	A1	20000511	WO 1999-JP6016	19991029
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9964880	A1	20000522	AU 1999-64880	19991029
BR 9915198	A	20010814	BR 1999-15198	19991029
EP 1155702	A1	20011121	EP 1999-952805	19991029
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
NO 2001002128	A	20010620	NO 2001-2128	20010430
PRIORITY APPLN. INFO.:			JP 1998-310130	A 19981030
			JP 1998-329272	A 19981119
			WO 1999-JP6016	W 19991029

AB The invention relates to a method for **assaying** a  
DDS compd. contg. a saccharide compd.-modified carboxy C1-4  
alkyldextran polyalc. and a drug compd. [DX8951 or doxorubicin]  
residue bonded to this carboxy C1-4 alkyldextran polyalc., or a  
DDS compd. wherein a polymer carrier is bonded to a drug  
compd. residue via a spacer contg. 2 to 8 amino acids bonded  
together via peptide bonds, which involves the step of

09/807980

**assaying** a hydrolyzate obtained by treating the DDS compd. with peptidase.

IT 200427-88-9DP, DX8951 or doxorubicin conjugates with carboxy C1-4 alkyl dextran polyalc. and

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(DDS compds. and method for **assaying** the same)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:311210 HCAPLUS

DOCUMENT NUMBER: 133:155250

TITLE: Distribution characteristics of carboxymethyl pullulan-peptide-doxorubicin conjugates in tumor-bearing rats: different sequence of peptide spacers and doxorubicin contents

AUTHOR(S): Nogusa, Hideo; Yamamoto, Keiji; Yano, Toshiro; Kajiki, Masahiro; Hamana, Hiroshi; Okuno, Satoshi

CORPORATE SOURCE: Drug Delivery System Institute, Ltd., Chiba, 278-0022, Japan

SOURCE: Biological & Pharmaceutical Bulletin (2000), 23(5), 621-626

CODEN: BPBLEO; ISSN: 0918-6158

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Plasma and tissue distribution of conjugates of CM-pullulan (CMPul) and doxorubicin (DXR), either bound directly or through three types of tetrapeptide spacers, was studied after i.v. injection to rats bearing Walker 256 carcinosarcoma and compared with that of DXR. In contrast to DXR, each conjugate retained high levels of DXR in the conjugated form in plasma and displayed high accumulation in the tumor at 6 h after the administration. Disposition characteristics of [3H]CMPul in rats bearing Walker 256 carcinosarcoma indicate that pullulan, which had mol. wt. over 50 kDa, is a suitable macromol. carrier for tumor targeting in cancer chemotherapy by carboxymethylation. We find that the in vivo antitumor effect of the conjugates depends on the tumor AUC of free DXR released from the conjugates. CMPul-DXR conjugates were also distributed in the reticuloendothelial organs, such as liver, spleen and bone marrow; however, the tissue concns. of the conjugates in the heart, lung and muscle were lower than those of DXR. We next investigated the effect of the DXR contents of CMPul-DXR conjugates on their body distribution in rats bearing Walker 256. The half life of CMPul-DXR conjugates in plasma were shorter and the conjugates had greater accumulation in the reticuloendothelial system, while they showed lower concns. in the tumor with increasing DXR contents. Antitumor activity of CMPul-DXR conjugates were reduced and the lethal toxicities of CMPul-DXR conjugates were amplified with increasing DXR contents.

IT 161254-06-4D, reaction products with sodium carboxymethylpullulan

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU

09/807980

(Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(peptide spacers and doxorubicin contents effect on pharmacokinetics and antitumor activity of CM-pullulan-peptide-doxorubicin conjugates in tumor-bearing rats)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:146878 HCAPLUS

DOCUMENT NUMBER: 132:288308

TITLE: Structure-activity relationships of carboxymethylpullulan-peptide-doxorubicin conjugates: Systematic modification of peptide spacers

AUTHOR(S): Nogusa, Hideo; Yano, Toshiro; Kashima, Nobukazu; Yamamoto, Keiji; Okuno, Satoshi; Hamana, Hiroshi

CORPORATE SOURCE: Drug Delivery System Institute, Ltd., Chiba, 278-0022, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(3), 227-230

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of carboxymethylpullulan (CMPul)-doxorubicin (DXR) conjugates bound by peptide spacers of different compns. and lengths were prepd. and evaluated for their in vivo antitumor effects. Systematic study of the peptide spacers indicated that CMPul-DXR conjugates bound via appropriate dipeptide spacers were more potent than DXR.

IT 264192-71-4D, conjugates with CM-pullulan  
264192-72-5D, conjugates with CM-pullulan

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(structure-antitumor activity relationships of CM-pullulan-peptide-doxorubicin conjugates)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:763905 HCAPLUS

DOCUMENT NUMBER: 132:15631

TITLE: Antitumor or antiinflammatory drug composites

INVENTOR(S): Susaki, Hiroshi; Inoue, Kazuhiro; Kuga, Hiroshi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Searcher : Shears 308-4994

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WO 9961061          A1      19991202          WO 1999-JP2681      19990521
W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU,
    CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
    IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
    MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
    SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
    AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE,
    DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
    CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9937333          A1      19991213          AU 1999-37333      19990521
EP 1080732          A1      20010307          EP 1999-919664      19990521
R:  BE, CH, DE, FR, GB, IT, LI, NL, SE
NO 2000005913      A       20010122          NO 2000-5913        20001122
PRIORITY APPLN. INFO.:          JP 1998-140915      A 19980522
                                WO 1999-JP2681      W 19990521

AB  Drug composites useful as DDS compds., which are
    represented by the general formula: A-R-NH-Y-CH2-O-CO-Q (wherein A
    is a polymer serving as a carrier for a drug; R is a spacer
    comprising one amino acid mol. or one comprising 2 to 8 amino acid
    mols. bound to each other through peptide linkage; Y is optionally
    substituted phenylene; and Q is a residue of a drug compd. such as
    an antitumor agent). The composites permit the speedy and
    regioselective release of drug compds. such as antitumor or
    anti-inflammatory agents, thus exhibiting expected drug effects
    without fail. A composite of DX-8951 [(1S,9S)-1-Amino-9-ethyl-5-
    fluoro-2,3-dihydro-9-hydroxy-4-methyl-1H,12H-
    benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-10,13(9H,15H)-
    dione] was prepd. from DX-8951 methanesulfonic acid salt, dextran
    polyalc. Na salt, Boc-Gly-Gly-Phe-Gly-OH, 4-aminobenzylalc., and
    bis(4-nitrophenyl)carbonate.

IT  251459-33-3DP, reaction products with dextran and acetic
    acid
    RL: BAC (Biological activity or effector, except adverse); BSU
    (Biological study, unclassified); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
    (prepn. of antitumor or antiinflammatory drug dextran polyalc.
    conjugates)

IT  187794-49-6
    RL: RCT (Reactant); RACT (Reactant or reagent)
    (prepn. of antitumor or antiinflammatory drug dextran polyalc.
    conjugates)

IT  251459-28-6P 251459-29-7P 251459-31-1P
    251459-32-2P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
    RACT (Reactant or reagent)
    (prepn. of antitumor or antiinflammatory drug dextran polyalc.
    conjugates)

REFERENCE COUNT:          6          THERE ARE 6 CITED REFERENCES AVAILABLE FOR
                                THIS RECORD. ALL CITATIONS AVAILABLE IN
                                THE RE FORMAT

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L6 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 1999:224542 HCAPLUS  
DOCUMENT NUMBER: 130:316621  
TITLE: Drug conjugates comprising carboxyalkyl pullulan

09/807980

polyalcohol carriers bonded with  
pharmaceutically active agents through peptide  
spacers  
INVENTOR(S): Inoue, Kazuhiro; Suzaki, Hiroshi; Ikeda,  
Masahiro  
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan; Dds Kenkyusho  
K. K.  
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 11092405	A2	19990406	JP 1997-254780	19970919
AB	The invention provides a drug conjugate suitable for an improved <b>drug delivery system</b> of an antitumor agent or an anti-inflammatory agent, wherein the conjugate contains a carboxy C1-4 alkyl pullulan polyalc. carrier bonded with a pharmaceutically active agent residue through a spacer consisting of an amino acid or a peptide with 2-8 amino acids. An antitumor conjugate consisting of carboxymethyl pullulan polyalc.-Gly-Gly-Phe- Gly-(DX-8951) was prepd. The conjugate exhibited higher antitumor effect with lower injection doses in Meth A-bearing mice as compared with the effect of unconjugated DX-8951.				
IT	<b>187794-49-6</b> RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of drug conjugates comprising carboxyalkyl pullulan polyalc. carriers bonded with drugs through peptide spacers)				
IT	<b>223537-08-4P 223537-11-9P</b> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of drug conjugates comprising carboxyalkyl pullulan polyalc. carriers bonded with drugs through peptide spacers)				

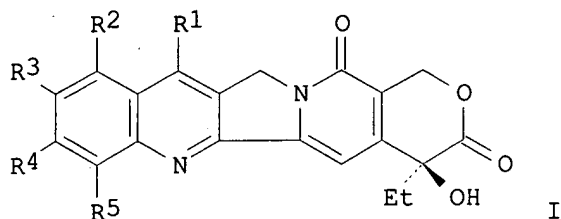
L6 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:183845 HCAPLUS  
DOCUMENT NUMBER: 130:287052  
TITLE: Anticancer drug compositions for targeting  
therapy  
INVENTOR(S): Tsujihara, Kenji; Kawaguchi, Takayuki; Okuno,  
Tetsu; Yano, Toshiaki  
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 11071280	A2	19990316	JP 1998-175240	19980623
PRIORITY APPLN. INFO.:				JP 1997-169746	19970626
OTHER SOURCE(S):	MARPAT 130:287052				
GI					

Searcher : Shears 308-4994

09/807980



AB Anticancer drug compns. for targeting therapy are prepd. by conjugating camptothecin derivs. (I) [R1-5 : e.g. 2 adjacent groups may be H or may form alkylene linkage, 1 group is XnAlkmR6 and the other 2 groups are H ( R6 = NH2, OH, etc. ; X = O or NH; Alk = alkylene; m and n = 0 or 1)] with polysaccharides via amino acids or peptides. The compns. are useful for treating lung cancer, ovary cancer, uterus cancer, mammary cancer, digestive tract cancer, lung cancer, kidney cancer, prostate cancer, neck cancer, malignant lymphoma and leukemia.

IT 187794-49-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of anticancer drug compns. for targeting therapy)

IT 192990-96-8P 192991-18-7P 192991-20-1P

192991-22-3P 192991-23-4P 192991-24-5P

192991-25-6P 192991-32-5P 192991-33-6P

192991-34-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)

(prepn. of anticancer drug compns. for targeting therapy)

IT 192990-91-3DP, reaction product with sodium carboxymethyl dextran 192990-93-5DP, reaction product with sodium carboxymethyl dextran 192990-94-6DP, reaction product with sodium carboxymethyl dextran 192990-95-7DP, reaction product with sodium carboxymethyl dextran 192990-96-8DP, reaction product with sodium carboxymethyl dextran

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of anticancer drug compns. for targeting therapy)

L6 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:760819 HCAPLUS

DOCUMENT NUMBER: 130:106811

TITLE: Evaluation of resins for on-bead screening: a study of papain and chymotrypsin specificity using PEGA-bound combinatorial peptide libraries

AUTHOR(S): Leon, Susanna; Quarrell, Rachel; Lowe, Gordon

CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford University, Oxford, OX1 3QY, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(21), 2997-3002

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB TentaGel, ArgoGel and PEGA resins were evaluated for on-bead biol.

09/807980

screening, using a fluorescently-labeled peptide attached to each and **assayed** for papain activity. Peptide attached to PEGA was cleaved in near quant. yield at the expected sites, while an identical sequence on TentaGel and ArgoGel beads was hydrolyzed in very low yields and nonspecifically on ArgoGel. The compatibility of PEGA with enzymes was further demonstrated by the detn. of subsite specificities of papain and chymotrypsin using PEGA-bound peptide libraries, which proved to be similar to those obsd. in free soln.

IT 219657-42-8D, resin bound

RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process)

(study of papain and chymotrypsin specificity using PEGA-bound combinatorial peptide libraries)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:336502 HCAPLUS

DOCUMENT NUMBER: 125:2993

TITLE: Cloning and expression of Bacillus thuringiensis morrisoni cryIF delta-endotoxin gene and control of lepidopteran pests

INVENTOR(S): Payne, Jewel; Sick, August J.; Narva, Kenneth E.; Schnepf, H. Ernest; Schwab, George E.

PATENT ASSIGNEE(S): Mycogen Corporation, USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605314	A2	19960222	WO 1995-US10310	19950814
WO 9605314	A3	19960328		
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5686069	A	19971111	US 1994-291368	19940815
AU 9533247	A1	19960307	AU 1995-33247	19950814
AU 711479	B2	19991014		
EP 776368	A2	19970604	EP 1995-929514	19950814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10504196	T2	19980428	JP 1995-507560	19950814
PRIORITY APPLN. INFO.:			US 1994-291368	19940815
			US 1990-597607	19901015
			US 1993-32778	19930306
			WO 1995-US10310	19950814

AB Disclosed and claimed are novel Bacillus thuringiensis isolates which have lepidopteran activity. Thus, these isolates, or mutants thereof, can be used to control such insect pests. Further, genes encoding novel .delta.-endotoxins can be removed from the isolates and transferred to other host microbes, or plants. Expression of

09/807980

the .delta.-endotoxins in such hosts results in the control of susceptible insect pests in the environment of such hosts. Eighteen different *B. thuringiensis* isolates were cultured and **assayed** for activity against *Trichoplusia ni* and *Spodoptera exigua*. Addnl., the toxin genes of these isolates were characterized by RFLP anal. The cryIF(a) gene of *B. thuringiensis morrisoni* strain PS91C2 was cloned, sequenced, and expressed in *Escherichia coli* and cry- *B. thuringiensis*. The recombinant toxin had an LC50 against *Plutella xylostella* of 5 .mu.g/mL diet.

IT 177261-43-7

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(oligonucleotide encoding; cloning and expression of *Bacillus thuringiensis morrisoni* cryIF delta-endotoxin gene and control of lepidopteran pests)

L6 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:676982 HCAPLUS

DOCUMENT NUMBER: 123:286659

TITLE: Liquid-phase combinatorial synthesis

AUTHOR(S): Han, Hyunsoo; Wolfe, Mary M.; Brenner, Sydney; Janda, Kim D.

CORPORATE SOURCE: Dep. Mol. Biol. Chem., Scripps Res. Inst., La Jolla, CA, 92037, USA

SOURCE: Proc. Natl. Acad. Sci. U. S. A. (1995), 92(14), 6419-23

CODEN: PNASA6; ISSN: 0027-8424

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A concept termed liq.-phase combinatorial synthesis (LPCS) is described. The central feature of this methodol. is that it combines the advantages that classic org. synthesis in soln. offers with those that solid-phase synthesis can provide, through the application of a linear homogeneous polymer. To validate this concept two libraries were prepd., one of peptide and the second of nonpeptide origin. The peptide-based library was synthesized by a recursive deconvolution strategy (E. Erb, et al., 1994), and several ligands found in this library bind a monoclonal antibody elicited against .beta.-endorphin. The non-peptide mols. were arylsulfonamides, a class of compds. of known clin. bactericidal efficacy. The results indicate that the reaction scope of LPCS should be general, and its value to multiple, high-throughput screening **assays** could be of particular merit, since multi-milligram quantities of each library member can readily be attained.

IT 169692-79-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(liq.-phase combinatorial synthesis of peptides and arylsulfonamides)

L6 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:123965 HCAPLUS

DOCUMENT NUMBER: 120:123965

TITLE: Discovery of biologically active peptides in random libraries: solution-phase testing after staged orthogonal release from resin beads

AUTHOR(S): Salmon, Sydney E.; Lam, Kit S.; Lebl, Michal;



09/807980

Kandola, Anitha; Khattri, Parth S.; Wade, Shelly; Patek, Marcel; Kocis, Petr; Krchnak, Viktor; et al.  
CORPORATE SOURCE: Coll. Med., Univ. Arizona, Tucson, AZ, 85724, USA  
SOURCE: Proc. Natl. Acad. Sci. U. S. A. (1993), 90(24), 11708-12  
CODEN: PNASA6; ISSN: 0027-8424  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB To speed drug discovery, the authors developed an approach for identification of individual peptides with a desired biol. activity from a library contg. millions of peptides. The approach uses sequential orthogonal release of chem. synthesized peptides from insol. beads, followed by testing in soln. In this system, each bead within a library of beads has one peptide sequence, but peptide mols. are attached to the bead with three types of chem. linkers, including two linkers cleavable at different pH optima. An uncleavable linker keeps some peptide attached to the bead for sequencing positives from the soln. **assay**. Applicability of this discovery technique was documented by identifying ligands for a monoclonal antibody and for the human platelet fibrinogen receptor, glycoprotein IIb/IIIa.

IT 153012-44-3

RL: ANST (Analytical study)  
(.beta.-endorphin monoclonal antibodies binding by, method for discovery of)

L6 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:567122 HCAPLUS  
DOCUMENT NUMBER: 117:167122  
TITLE: Selective enrichment and characterization of high affinity ligands from collections of random peptides on filamentous phage  
AUTHOR(S): Barrett, Ronald W.; Cwirla, Steven E.; Ackerman, Martha S.; Olson, Ann M.; Peters, Elizabeth A.; Dower, William J.  
CORPORATE SOURCE: Dep. Mol. Pharmacol., Affymax Res. Inst., Palo Alto, CA, 94304, USA  
SOURCE: Anal. Biochem. (1992), 204(2), 357-64  
CODEN: ANBCA2; ISSN: 0003-2697  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Large collections of random peptides can be expressed on the N-terminus of the pIII protein of filamentous phage and screened for binding to antibodies and other receptors. In the previous work with a monoclonal antibody (3E7) (1990), it was shown that a high proportion of the selected peptides had relative low affinity ( $K_d$ 's  $> 1 \mu\text{M}$ ). Conditions for selective enrichment of phage expressing high affinity peptides are described. This is done by allowing the phage to interact with a low concn. of 3E7 Fab followed by extensive washing to allow dissocn. of phage-bearing peptides with low affinity. These affinity selection conditions were applied to the pool of phage previously selected using a high concn. of IgG. A phage clone with the known high affinity ligand YGGFL ( $K_d$  7.1 nM) and several other closely related peptides were isolated. The dissocn. rate of 125I-3E7 Fab from several phage clones approximated that of phage expressing YGGFL. A good correlation was found

09/807980

between the dissocn. rate of the peptides found on phage and the equil. binding consts. of chem. synthesized peptides. The strategy of using a low concn. of receptor and extensive washing to select phage-bearing high affinity peptides, combined with **assays** to det. the specificity and relative affinity of peptides on isolated phage clones, should be generally applicable in using the peptides-on-phage system for discovery of high affinity receptor ligands.

IT 143740-83-4

RL: ANST (Analytical study)  
(monoclonal antibody dissocn. from phages bearing)

L6 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1978:16289 HCAPLUS

DOCUMENT NUMBER: 88:16289

TITLE: Structural requirements for opioid activity of analogs of the enkephalins

AUTHOR(S): Beddell, C. R.; Clark, R. B.; Hardy, G. W.;  
Lowe, L. A.; Ubatuba, F. B.; Vane, J. R.;  
Wilkinson, S.; Chang, K. J.; Cuatrecasas, P.;  
Miller, R. J.

CORPORATE SOURCE: Wellcome Res. Lab., Beckenham/Kent, Engl.

SOURCE: Proc. R. Soc. London, Ser. B (1977), 198(1132),  
249-65

CODEN: PRLBA4

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Structure-activity relations of a series of analogs of the 2 endogenous morphine-like peptides, leucine-enkephalin [58822-25-6] and methionine-enkephalin [58569-55-4] were examd. on the basis of effects on the mouse vas deferens and the guinea pig ileum and affinities for the rat brain opiate receptor. In the mouse vas deferens, metab. of the peptides by proteolysis was not a major influence on activity. In contrast, however, brain opiate receptor preps. contained an abundance of proteolytic enzymes, the effects of which were minimized by conducting opiate receptor binding **assays** at 0.degree. and in the presence of bacitracin. The potentiation of biol. activity ad opiate receptor binding affinity by replacing the Gly2 residue in the natural enkephalins by D-Ala, is discussed both in terms of increased stability of the Tyr-D-Ala bond to aminopeptidases and of the stabilization of the peptide conformation as present in the receptor-peptide complex. The substitution of the Leu5- or Met5-residue by the corresponding D-amino acid contributed little to proteolytic stability, which emphasizes that the predominating site at which metab. occurs is the Tyr1-Gly2 bond. Of the analogs described, [D-Ala2,D-Leu5]-enkephalin [63631-40-3] was the most active peptide in the 3 **assay** systems. Substitutions by the resp. D-amino acids D-Tyr and D-Phe at positions 1 and 4 decreased both the potency and binding affinity and emphasized the importance of stereochem. acceptability at these positions.

IT 61064-76-4

RL: BAC (Biological activity or effector, except adverse); BIOL  
(Biological study)  
(opioid activity of)

E1 THROUGH E40 ASSIGNED

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FILE "REGISTRY" ENTERED AT 15:17:27 ON 15 JUL 2002

L7 40 SEA FILE=REGISTRY ABB=ON PLU=ON (187794-49-6/BI OR  
200427-88-9/BI OR 192990-96-8/BI OR 192991-32-5/BI OR  
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401470-36-8/BI OR 87742-82-3/BI OR 143740-83-4/BI OR  
153012-44-3/BI OR 161254-06-4/BI OR 169692-79-9/BI OR  
177261-43-7/BI OR 192990-91-3/BI OR 192990-93-5/BI OR  
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192991-20-1/BI OR 192991-22-3/BI OR 192991-23-4/BI OR  
192991-24-5/BI OR 192991-25-6/BI OR 192991-34-7/BI OR  
193097-95-9/BI OR 219657-42-8/BI OR 223537-11-9/BI OR  
251459-28-6/BI OR 251459-29-7/BI OR 251459-31-1/BI OR  
251459-32-2/BI OR 251459-33-3/BI OR 264192-71-4/BI OR  
264192-72-5/BI OR 401470-32-4/BI OR 401470-35-7/BI OR  
403702-00-1/BI OR 403702-73-8/BI OR 61064-76-4/BI)

L8 40 L7 AND L2

L8 ANSWER 1 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 403702-73-8 REGISTRY

CN L-Arginine, L-arginylglycylglycyl-L-phenylalanylglycyl-L-valyl-  
(9CI) (CA INDEX NAME)

SQL 7

SEQ 1 RGGFGVR

=====

HITS AT: 2-5

REFERENCE 1: 136:242899

L8 ANSWER 2 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 403702-00-1 REGISTRY

CN L-Glutamine, L-alanylglycylglycyl-L-phenylalanylglycyl-L-.alpha.-  
glutamyl- (9CI) (CA INDEX NAME)

SQL 7

SEQ 1 AGGFGEQ

=====

HITS AT: 2-5

REFERENCE 1: 136:242899

L8 ANSWER 3 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 401470-36-8 REGISTRY

CN Glycinamide, glycylglycyl-L-phenylalanyl-N-[[[1-[2-amino-6-[4-[(1E)-  
3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-  
pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinyloxy)methyl]- (9CI) (CA  
INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 136:205403

L8 ANSWER 4 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 401470-35-7 REGISTRY

Searcher : Shears 308-4994

09/807980

CN Glycinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[[[1-[2-amino-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinyloxy)methyl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 136:205403

L8 ANSWER 5 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 401470-32-4 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[[[1-[2-amino-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinyloxy)methyl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 136:205403

L8 ANSWER 6 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 384828-78-8 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[(1S,9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

SEQ 1 GGFG

=====

HITS AT: 1-4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 136:74660

L8 ANSWER 7 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 264192-72-5 REGISTRY

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[(glycylglycyl-L-phenylalanylglycyl)amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

CI COM

SQL 4

09/807980

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 132:288308

L8 ANSWER 8 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 264192-71-4 REGISTRY

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[(glycylglycylglycyl-L-phenylalanylglycyl)amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

SQL 5

SEQ 1 GGGFG

=====

HITS AT: 2-5

REFERENCE 1: 132:288308

L8 ANSWER 9 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 251459-33-3 REGISTRY

CN Glycinamide, N-acetylglycylglycyl-L-phenylalanyl-N-[4-[[[[[(1S,9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]amino]carbonyl]oxy]methyl]phenyl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 132:15631

L8 ANSWER 10 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 251459-32-2 REGISTRY

CN Glycinamide, glycylglycyl-L-phenylalanyl-N-[4-[[[[[(1S,9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]amino]carbonyl]oxy]methyl]phenyl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 132:15631

L8 ANSWER 11 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 251459-31-1 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[4-[[[[[(1S,9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]amino]carbonyl]oxy]methyl]phenyl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

09/807980

=====  
HITS AT: 1-4

REFERENCE 1: 132:15631

L8 ANSWER 12 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 251459-29-7 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[4-[[[(4-nitrophenoxy)carbonyl]oxy)methyl]phenyl]-(9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====  
HITS AT: 1-4

REFERENCE 1: 132:15631

L8 ANSWER 13 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 251459-28-6 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[4-(hydroxymethyl)phenyl]-(9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====  
HITS AT: 1-4

REFERENCE 1: 132:15631

L8 ANSWER 14 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 223537-11-9 REGISTRY

CN Glycinamide, glycylglycyl-L-phenylalanyl-N-[(1S,9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====  
HITS AT: 1-4

SEQ 1 GGFG

=====  
HITS AT: 1-4

SEQ 1 GGFG

=====  
HITS AT: 1-4

REFERENCE 1: 130:316621

L8 ANSWER 15 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 223537-08-4 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[(1S,9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]-(9CI) (CA INDEX NAME)

09/807980

CI COM  
SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 136:74660

REFERENCE 2: 130:316621

L8 ANSWER 16 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 219657-42-8 REGISTRY

CN 1-7-Sperm-activating peptide f (Tripneustes gratilla egg jelly coat), N-[N-[5-(dimethylamino)-1-naphthalenyl]sulfonyl]glycyl]-, (4-carboxyphenyl)methyl ester (9CI) (CA INDEX NAME)

SQL 8

SEQ 1 GGFGGLGGG

=====

HITS AT: 1-4

REFERENCE 1: 130:106811

L8 ANSWER 17 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 200427-88-9 REGISTRY

CN Glycine, glycylglycyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 136:139833

REFERENCE 2: 136:123681

REFERENCE 3: 135:185307

REFERENCE 4: 132:326152

REFERENCE 5: 128:66510

REFERENCE 6: 128:66509

L8 ANSWER 18 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 193097-95-9 REGISTRY

CN Glycinamide, glycylglycyl-L-phenylalanyl-N-[3-[[[(4S)-4-ethyl-3,4,12,13-tetrahydro-4-hydroxy-3,13-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]-, compd. with dextran carboxymethyl ether sodium salt (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Dextran, carboxymethyl ether, sodium salt, compd. with glycylglycyl-L-phenylalanyl-N-[3-[[[(4S)-4-ethyl-3,4,12,13-tetrahydro-4-hydroxy-3,13-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]glycinamide (9CI)

SQL 4

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SEQ 1 GGFG

=====

HITS AT: 1-4

SEQ 1 GGFG

=====

HITS AT: 1-4

SEQ 1 GGFG

=====

HITS AT: 1-4

SEQ 1 GGFG

=====

HITS AT: 1-4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 134:256691

REFERENCE 2: 127:135979

L8 ANSWER 19 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-34-7 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[(9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

REFERENCE 2: 127:135979

L8 ANSWER 20 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-33-6 REGISTRY

CN Glycinamide, glycylglycyl-L-phenylalanyl-N-[3-[[[(4S)-4-ethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 134:256691

REFERENCE 2: 130:287052

REFERENCE 3: 127:135979



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L8 ANSWER 21 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-32-5 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[3-[[[(4S)-4-ethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]-(9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 134:256691

REFERENCE 2: 130:287052

REFERENCE 3: 127:135979

L8 ANSWER 22 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-25-6 REGISTRY

CN Glycinamide, glycylglycyl-L-phenylalanyl-N-[[[(8S)-8-ethyl-2,3,8,9,12,14-hexahydro-8-hydroxy-9,12-dioxo-11H-1,4-dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-15-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

REFERENCE 2: 127:135979

L8 ANSWER 23 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-24-5 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[[[(8S)-8-ethyl-2,3,8,9,12,14-hexahydro-8-hydroxy-9,12-dioxo-11H-1,4-dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-15-yl]methyl]-(9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

REFERENCE 2: 127:135979

L8 ANSWER 24 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-23-4 REGISTRY

CN L-Phenylalaninamide, glycylglycyl-N-[2-[4-[[[(8S)-8-ethyl-2,3,8,9,12,14-hexahydro-8-hydroxy-9,12-dioxo-11H-1,4-dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-15-yl]methyl]-1-piperazinyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

SQL 4

09/807980

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

REFERENCE 2: 127:135979

L8 ANSWER 25 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-22-3 REGISTRY

CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-N-[2-[4-[(8S)-8-ethyl-2,3,8,9,12,14-hexahydro-8-hydroxy-9,12-dioxo-11H-1,4-dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-15-yl)methyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

REFERENCE 2: 127:135979

L8 ANSWER 26 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-20-1 REGISTRY

CN Glycinamide, glycylglycyl-L-phenylalanyl-N-[3-[(9S)-9-ethyl-2,3,9,10,13,15-hexahydro-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl]oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

REFERENCE 2: 127:135979

L8 ANSWER 27 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192991-18-7 REGISTRY

CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]glycylglycyl-L-phenylalanyl-N-[3-[(9S)-9-ethyl-2,3,9,10,13,15-hexahydro-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl]oxy]propyl]- (9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

REFERENCE 2: 127:135979

L8 ANSWER 28 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192990-96-8 REGISTRY

09/807980

CN Glycinamide, glycyglycyl-L-phenylalanyl-N-[(9S)-9-ethyl-5-fluoro-2,3,9,10,13,15-hexahydro-9-hydroxy-4-methyl-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-1-yl]- (9CI)  
(CA INDEX NAME)

CI COM

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

L8 ANSWER 29 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192990-95-7 REGISTRY

CN Glycinamide, glycyglycyl-L-phenylalanyl-N-[3-[[ (4S)-4-ethyl-3,4,12,13-tetrahydro-4-hydroxy-3,13-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]- (9CI)  
(CA INDEX NAME)

CI COM

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

L8 ANSWER 30 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192990-94-6 REGISTRY

CN Glycinamide, glycyglycyl-L-phenylalanyl-N-[[ (8S)-8-ethyl-2,3,8,9,12,14-hexahydro-8-hydroxy-9,12-dioxo-11H-1,4-dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-15-yl]methyl]- (9CI)  
(CA INDEX NAME)

CI COM

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

L8 ANSWER 31 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192990-93-5 REGISTRY

CN L-Phenylalaninamide, glycyglycyl-N-[2-[4-[[ (8S)-8-ethyl-2,3,8,9,12,14-hexahydro-8-hydroxy-9,12-dioxo-11H-1,4-dioxino[2,3-g]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-15-yl]methyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

CI COM

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

09/807980

L8 ANSWER 32 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 192990-91-3 REGISTRY

CN Glycinamide, glycyglycyl-L-phenylalanyl-N-[3-[[ (9S)-9-ethyl-2,3,9,10,13,15-hexahydro-9-hydroxy-10,13-dioxo-1H,12H-benzo[de]pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl]oxy]propyl]-(9CI) (CA INDEX NAME)

CI COM

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 130:287052

L8 ANSWER 33 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 187794-49-6 REGISTRY

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]glycyglycyl-L-phenylalanyl-(9CI) (CA INDEX NAME)

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 136:74660

REFERENCE 2: 134:256691

REFERENCE 3: 132:15631

REFERENCE 4: 130:316621

REFERENCE 5: 130:287052

REFERENCE 6: 127:135979

REFERENCE 7: 126:199707

L8 ANSWER 34 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 177261-43-7 REGISTRY

CN Glycine, N-[N-[N-[N-(N2-L-valyl-L-arginyl)glycyl]glycyl]-L-phenylalanyl]-(9CI) (CA INDEX NAME)

SQL 6

SEQ 1 VRGGFG

=====

HITS AT: 3-6

REFERENCE 1: 125:2993

L8 ANSWER 35 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 169692-79-9 REGISTRY

CN Poly(oxy-1,2-ethanediyl), .alpha.-methyl-.omega.-hydroxy-, ester with N-[N-[N-(N-L-tyrosylglycyl)glycyl]-L-phenylalanyl]glycine (9CI) (CA INDEX NAME)

CI PMS

SQL 5

09/807980

SEQ 1 YGGFG

=====

HITS AT: 2-5

REFERENCE 1: 125:34037

REFERENCE 2: 123:286659

L8 ANSWER 36 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 161254-06-4 REGISTRY

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[(glycylglycyl-L-phenylalanylglycyl)amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-, monohydrochloride, (8S,10S)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-[N-(N-glycylglycyl)-L-phenylalanyl]glycyl]amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-, monohydrochloride, (8S-cis)-

SQL 4

SEQ 1 GGFG

=====

HITS AT: 1-4

REFERENCE 1: 133:155250

REFERENCE 2: 128:30115

REFERENCE 3: 124:176877

REFERENCE 4: 122:299074

L8 ANSWER 37 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 153012-44-3 REGISTRY

CN .beta.-Alanine, N-[N-[N-(N-L-tyrosylglycyl)glycyl]-L-phenylalanyl]glycyl]- (9CI) (CA INDEX NAME)

SQL 6

SEQ 1 YGGFGX

=====

HITS AT: 2-5

REFERENCE 1: 120:123965

L8 ANSWER 38 OF 40 REGISTRY COPYRIGHT 2002 ACS

RN 143740-83-4 REGISTRY

CN L-Phenylalaninamide, L-tyrosylglycylglycyl-L-phenylalanylglycyl- (9CI) (CA INDEX NAME)

SQL 6

SEQ 1 YGGFGF

=====

HITS AT: 2-5

REFERENCE 1: 117:167122

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L8 ANSWER 39 OF 40 REGISTRY COPYRIGHT 2002 ACS  
RN 87742-82-3 REGISTRY  
CN Glycine, glycyglycyl-L-phenylalanylglycyl- (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN Glycine, N-[N-[N-(N-glycyglycyl)-L-phenylalanyl]glycyl]-  
OTHER NAMES:  
CN 10: PN: US6271197 SEQID: 20 unclaimed sequence  
CN 18: PN: US6277564 SEQID: 18 unclaimed sequence  
SQL 5

SEQ 1 GGFGG  
=====

HITS AT: 1-4

REFERENCE 1: 135:191315

REFERENCE 2: 135:162483

REFERENCE 3: 100:82203

L8 ANSWER 40 OF 40 REGISTRY COPYRIGHT 2002 ACS  
RN 61064-76-4 REGISTRY  
CN Glycine, N-[N-[N-(N-L-tyrosylglycyl)glycyl]-L-phenylalanyl]- (9CI)  
(CA INDEX NAME)  
OTHER NAMES:  
CN 5-Gly-enkephalin  
CI COM  
SQL 5

Q 1 YGGFG  
=====

HITS AT: 2-5

REFERENCE 1: 113:78941

REFERENCE 2: 94:96684

REFERENCE 3: 89:17351

REFERENCE 4: 88:16289

REFERENCE 5: 85:186907

FILE 'HOME' ENTERED AT 15:18:00 ON 15 JUL 2002